Combinatorial Chemistry - An Online Journal - No. 32 March 2001

A summary of the papers in this month's issue.

Solid-phase synthesis

- Tetramannosylated peptide constructs carrying three independent branched epitopes have been prepared on solid-phase support using orthogonally removable protecting groups and a robust activation strategy (Kragol and Otvos, *Tetrahedron*, 2001, *57(6)*, 957-966).
- Oligonucleotides containing a 3'-3' inversion of polarity based on 2,2'-bipyridine ligand have been prepared on solid-phase support (Galeone *et al.*, *Bioorg. Med. Chem. Lett.*, 2001, *11(3)*, 383-386).
- The antitumour antibiotics, pyrrolo[2,1-c][1,4]benzodiazepine-5,11-diones, have been prepared on Wang resin using key amide formation an reductive cyclisation reactions(Kamal *et al.*, *Bioorg. Med. Chem. Lett.*, 2001, *11(3)*, 387-389).
- A general method for the solid-phase synthesis of enantiomerically pure polyhydroxyvalerolactams has been achieved using the amination of D-ribonolactone via a Mitsunobu reaction followed by lactamisation (Piró *et al.*, *Tetrahedron Lett.*, 2001, *42(5)*, 871-873).
- *N,N'*-substituted acylguanidines have been prepared on solid-phase by the *N*-acylation of resin-immobilised *S*-methylisothiourea with a range of carboxylic acids. This was followed by reaction with a number of amines and release from the solid support by treatment with TFA (Dodd and Zhao, *Tetrahedron Lett.*, 2001, *42(7)*, 1259-1262).
- A new type of peptidomimetic has been prepared on both solid and phase and in solution based on a hydroxyalkylfuran-amino acid template (Moreno-Vargas *et al.*, *Tetrahedron Lett.*, 2001, *42(7)*, 1283-1285).
- 1,4-Benzoxazin-3(4H)-one and 1,4-benzothiaon-3(4H)-one derivatives have been prepared by solid-phase routes for the first time (Lee *et al.*, *Tetrahedron Lett.*, 2001, *42(6)*, 1167-1169).
- A facile and practical solid-phase synthesis of trisubstituted 2-aminoimidazolones has been described (Li and Wilson, *Tetrahedron Lett.*, 2001, *42(8)*, 1455-1458).

Solution-phase synthesis

- The combinatorial synthesis of isoxazolines and isoxazoles has been achieved by using solution-phase [2+3] cycloadditions of nitrile oxides with alkenes and alkynes (Kang *et al.*, *Tetrahedron Lett.*, 2001, *42(6)*, 1057-1060).

Novel resins and linkers

- Tertiary amines have been prepared in high yields and purities using a novel traceless linker generated on Merrifield resin (Cai and Wathey, *Tetrahedron Lett.*, 2001, *42(7)*, 1383-1385).
- 2,4,6-Trichloropyrimidine has been regioselectively anchored to resin through the 4-position by reaction with supported *N*-potassium carbamates (Zucca *et al.*, *Tetrahedron Lett.*, 2001, *42(6)*, 1033-1035).
- Secondary amides linked to solid support by use of Sieber or Rink resins have been directly released as nitriles following treatment with trifluoroacetic anhydride (Hone *et al.*, *Tetrahedron Lett.*, 2001, *42(6)*, 1115-1118).

- The use of the Dde linker for the solid-phase synthesis of oligosaccharides has been described. Products can be generated from resin by treatment with hydrazine, ammonia or primary amines (Drinnan *et al*., *Tetrahedron Lett.*, 2001, *42(6)*, 1159-1162).
- A novel 2-(trialkylsilyl)ethyl linker has been prepared and this has been used in a solid-phase synthesis of Trypostatin B (Wang *et al*., *Tetrahedron Lett.*, 2001, *42(8)*, 1463-1466).

Library applications

- A library of urea-based 6,6-bicyclic β-turn peptidomimetics with diversity at the I position has been prepared on solid-phase support (Eguchi *et al*., *Tetrahedron Lett.*, 2001, *42(7)*, 1237-1239).
- Solution-phase methodology has been employed in the synthesis of a library of 4-alkoxy-2-hydroxy-3,5,6-trifluorobenzoic acids for evaluation against the enzyme farnesyl transferase. The compounds were prepared using a key fluoride-mediated alkylation step and ion-exchange resins for purification (Hardcastle *et al*., *Tetrahedron Lett.*, 2001, *42(7)*, 1363-1365).